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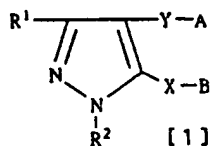
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(54) **SUBSTITUTED PYRAZOLE DERIVATIVE AND AGROHORTICULTURAL BACTERICIDE.**

**EP 0 556 396 A1**

(57) A novel substituted pyrazole derivative represented by general formula (1) and an agrohorticultural bactericide containing the same, wherein  $R^1$  represents hydrogen, halogen, alkyl, alkoxy, alkylthio or haloalkyl;  $R^2$  represents hydrogen, alkyl, haloalkyl, optionally substituted phenylalkyl,  $-COR^6$  or  $-SO_2R^7$ ; X represents  $-S(O)_0-2$ ,  $-NR^3-$ ,  $-CO-$  or  $-CR^4R^5-$ ; Y represents  $-O-$  or  $-S(O)_0-2$ ; A represents optionally substituted phenyl or heterocyclic group; and B represents optionally substituted pyridyl, diazinyl, 1, 3, 6- or 1, 3, 4- triazinyl or thiazolyl. The above compound is useful as an agrohorticultural bactericide, because it has an excellent agrohorticultural bactericidal action and is free from chemical injury against useful crops.



TECHNICAL FIELD

The present invention relates to novel pyrazole derivatives and fungicides for agricultural and horticultural use containing the derivative(s) as an active ingredient.

BACKGROUND ART

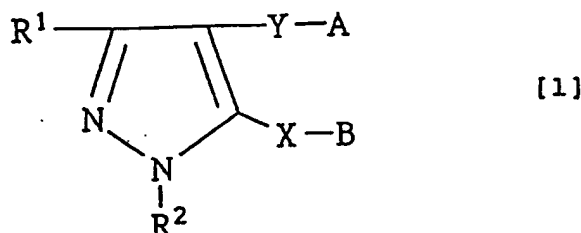
Various fungicides have heretofore been developed, but the potency of them could not be said to be always satisfactory due to appearance of resistant strains and other reasons.

Japanese Patent Application Laid-Open No. 1-125379 mentions that pyrazole derivatives of certain kinds have fungicidal activity.

The compounds as disclosed in the laid-open specification are not still satisfactory with respect to the potency, the residual effect and the phytotoxicity. Therefore, development of fungicides for agricultural and horticultural use, which are further more useful to plant diseases, is desired.

DESCRIPTION OF THE INVENTION

In view of the situation mentioned above, the present inventors repeatedly made various investigations so as to develop compounds having excellent fungicidal activity and, as a result, have found that substituted pyrazole derivatives of the following general formula (I) have excellent fungicidal activity. On the basis of the finding, they have achieved the present invention. Specifically, the present invention relates to substituted pyrazole derivatives of a general formula [1]:



where R<sup>1</sup> represents a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group or a haloalkyl group;

R<sup>2</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenylalkyl group, -COR<sup>6</sup> or -SO<sub>2</sub>R<sup>7</sup>;

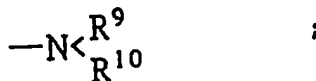
X represents -S-, -SO-, -SO<sub>2</sub>-, -N(R<sup>3</sup>)-, -CO- or -C(R<sup>4</sup>)(R<sup>5</sup>)-;

R<sup>3</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxyalkyl group, a nitroso group, an amino group, an unsubstituted or substituted phenylalkyl group, -COR<sup>6</sup> or -SO<sub>2</sub>R<sup>7</sup>;

R<sup>4</sup> and R<sup>5</sup> independently represent a hydrogen atom, a halogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group or -OR<sup>8</sup>;

R<sup>6</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxyalkyl group, an unsubstituted or substituted phenylalkyl group, -COR<sup>6</sup> or -SO<sub>2</sub>R<sup>7</sup>;

R<sup>7</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group, an unsubstituted or substituted phenylalkyl group, an alkoxy group or

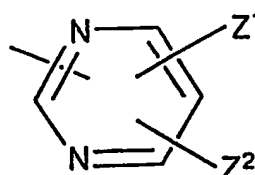
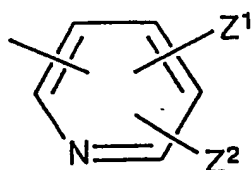


R<sup>7</sup> represents an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group or

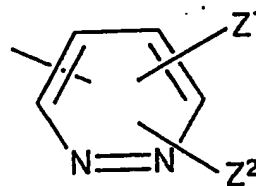
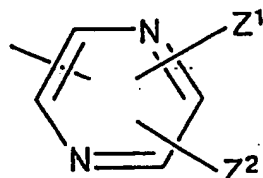


A represents an unsubstituted or substituted phenyl group or an unsubstituted or substituted heterocyclic group;

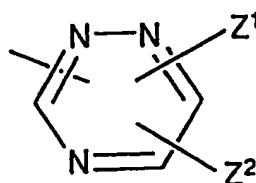
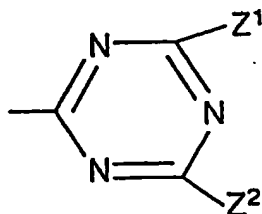
**B represents**



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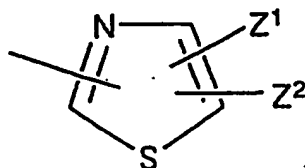


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and also to fungicides for agricultural and horticultural use containing the derivative(s) as an active ingredient.

Next, compounds of formula [1] of the present invention are shown in Table 1 and Table 2. However, compounds of the present invention are not restricted to only them.

The compound number is referred to in the following description herein. In the tables, Ph indicates a phenyl group, i indicates iso-, and t indicates tertiary.

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Table 1

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In compounds of:

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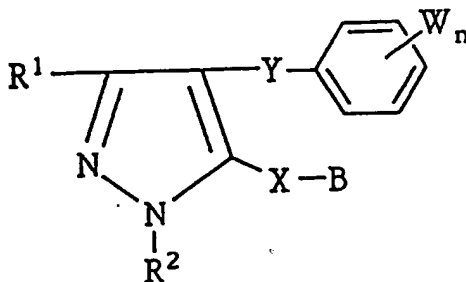


Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
1	H	CH <sub>3</sub>	S	S	H	B 1
2	CH <sub>3</sub>	CH <sub>3</sub>	S	S	H	B 1
3	CF <sub>3</sub>	CH <sub>3</sub>	S	S	H	B 1
4	H	CH <sub>3</sub>	S	S	4-Cl	B 1
5	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-Cl	B 1
6	CF <sub>3</sub>	CH <sub>3</sub>	S	S	4-Cl	B 1
7	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 1
8	CF <sub>3</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 1
9	CH <sub>3</sub>	H	S	S	4-Cl	B 1
10	CH <sub>3</sub>	H	S	S	4-CH <sub>3</sub>	B 1
11	CH <sub>3</sub>	CF <sub>3</sub>	S	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
1 2	CH <sub>3</sub>	CF <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 1
1 3	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-CF <sub>3</sub>	B 1
1 4	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-Br	B 1
1 5	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-NO <sub>2</sub>	B 1
1 6	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-OCH <sub>3</sub>	B 1
1 7	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-C <sub>2</sub> H <sub>5</sub>	B 1
1 8	CH <sub>3</sub>	CH <sub>3</sub>	S	S	2-Cl	B 1
1 9	CH <sub>3</sub>	CH <sub>3</sub>	S	S	2-Cl, 4-Cl	B 1
2 0	CH <sub>3</sub>	CH <sub>3</sub>	S	S	2-Cl, 4-CH <sub>3</sub>	B 1
2 1	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-Cl	B 2
2 2	CF <sub>3</sub>	CH <sub>3</sub>	S	S	4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 3	CH <sub>3</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 2
2 4	CF <sub>3</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 2
2 5	CH <sub>3</sub>	CH <sub>3</sub>	S	S	2-Cl, 4-Cl	B 2
2 6	CH <sub>3</sub>	CH <sub>3</sub>	S	S	2-Cl, 4-CH <sub>3</sub>	B 2
2 7	CH <sub>3</sub>	CH <sub>3</sub>	S	0	4-Cl	B 2
2 8	CH <sub>3</sub>	CH <sub>3</sub>	S	0	4-CH <sub>3</sub>	B 2
2 9	CF <sub>3</sub>	CH <sub>3</sub>	S	0	4-CH <sub>3</sub>	B 2
3 0	CH <sub>3</sub>	CH <sub>3</sub>	S	0	3-Cl, 4-CH <sub>3</sub>	B 2
3 1	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	H	B 1
3 2	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
3 3	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 1
3 4	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	4-OCH <sub>3</sub>	B 1
3 5	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	3-CF <sub>3</sub>	B 1
3 6	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	2-Cl, 4-Cl	B 1
3 7	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	3-Cl, 4-Cl	B 1
3 8	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	S	S	2-Cl, 4-CH <sub>3</sub>	B 1
3 9	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	S	S	4-Cl	B 1
4 0	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 1
4 1	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	S	S	4-OCH <sub>3</sub>	B 1
4 2	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	S	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 3	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	S	S	4-CH <sub>3</sub>	B 1
4 4	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	S	S	4-OCH <sub>3</sub>	B 1
4 5	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	S	S	4-Cl	B 1
4 6	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub>	S	S	4-Cl	B 1
4 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	H	B 1
4 8	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	H	B 1
4 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1
5 0	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1
5 1	H	CH <sub>3</sub>	NH	S	4-Cl	B 1
5 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-CH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
5 3	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	4-CH <sub>3</sub>	B 1
5 4	H	CH <sub>3</sub>	NH	S	4-CH <sub>3</sub>	B 1
5 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-F	B 1
5 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Br	B 1
5 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-I	B 1
5 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F	B 1
5 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl	B 1
6 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Br	B 1
6 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-I	B 1
6 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
6 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl	B 1
6 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Br	B 1
6 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-I	B 1
6 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-OCH <sub>3</sub>	B 1
6 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-OCH <sub>3</sub>	B 1
6 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-OCH <sub>3</sub>	B 1
6 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CF <sub>3</sub>	B 1
7 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-CF <sub>3</sub>	B 1
7 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-CF <sub>3</sub>	B 1
7 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-C <sub>2</sub> H <sub>5</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
7 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-C <sub>8</sub> H <sub>7</sub>	B 1
7 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-C <sub>4</sub> H <sub>9</sub>	B 1
7 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-i-C <sub>8</sub> H <sub>7</sub>	B 1
7 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-t-C <sub>4</sub> H <sub>9</sub>	B 1
7 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-OCF <sub>3</sub>	B 1
7 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-OCF <sub>3</sub>	B 1
7 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-OCF <sub>3</sub>	B 1
8 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-NO <sub>2</sub>	B 1
8 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NO <sub>2</sub>	B 1
8 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-NH <sub>2</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
8 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NH <sub>2</sub>	B 1
8 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHCOCH <sub>3</sub>	B 1
8 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHSO <sub>2</sub> CH <sub>3</sub>	B 1
8 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHCOCF <sub>3</sub>	B 1
8 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHSO <sub>2</sub> CF <sub>3</sub>	B 1
8 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Ph	B 1
8 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-OPh	B 1
9 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-OCF <sub>2</sub> CF <sub>2</sub> H	B 1
9 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-COCH <sub>3</sub>	B 1
9 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHCOPh	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
9 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHCOOCH <sub>3</sub>	B 1
9 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-NHCON(CH <sub>3</sub> ) <sub>2</sub>	B 1
9 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 3-Cl	B 1
9 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
9 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 5-Cl	B 1
9 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 6-Cl	B 1
9 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-Cl	B 1
1 0 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 5-Cl	B 1
1 0 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 3-CH <sub>3</sub>	B 1
1 0 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 4-CH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
1 0 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 5-CH <sub>3</sub>	B 1
1 0 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 6-CH <sub>3</sub>	B 1
1 0 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-CH <sub>3</sub> , 4-CH <sub>3</sub>	B 1
1 0 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-CH <sub>3</sub> , 5-CH <sub>3</sub>	B 1
1 0 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 3-CH <sub>3</sub>	B 1
1 0 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1
1 0 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 5-CH <sub>3</sub>	B 1
1 1 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
1 1 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 5-CH <sub>3</sub>	B 1
1 1 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 3-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
1 1 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 4-Cl	B 1
1 1 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CH <sub>3</sub> , 5-Cl	B 1
1 1 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 3-F	B 1
1 1 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-F	B 1
1 1 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 5-F	B 1
1 1 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 6-F	B 1
1 1 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 3-Cl	B 1
1 2 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-Cl	B 1
1 2 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 5-Cl	B 1
1 2 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 6-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
1 2 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 3-CH <sub>3</sub>	B 1
1 2 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1
1 2 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 3-Br	B 1
1 2 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-Br	B 1
1 2 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-Cl	B 1
1 2 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 5-Cl	B 1
1 2 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-CH <sub>3</sub>	B 1
1 3 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 5-CH <sub>3</sub>	B 1
1 3 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Br, 4-Cl	B 1
1 3 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Br, 4-Br	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
1 3 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Br, 4-CH <sub>3</sub>	B 1
1 3 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Br, 4-Cl	B 1
1 3 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Br, 4-Br	B 1
1 3 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Br, 4-CH <sub>3</sub>	B 1
1 3 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Br	B 1
1 3 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-Br	B 1
1 3 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-I	B 1
1 4 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-I	B 1
1 4 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-Br	B 1
1 4 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3, 4-OCH <sub>3</sub> -	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>a</sub>	B
1 4 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CF <sub>3</sub> , 3-Cl	B 1
1 4 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CF <sub>3</sub> , 4-Cl	B 1
1 4 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CF <sub>3</sub> , 3-Br	B 1
1 4 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-CF <sub>3</sub> , 4-Br	B 1
1 4 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-NO <sub>2</sub>	B 1
1 4 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-NO <sub>2</sub>	B 1
1 4 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-NO <sub>2</sub>	B 1
1 5 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-NO <sub>2</sub>	B 1
1 5 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-OCH <sub>3</sub>	B 1
1 5 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-OCH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
1 5 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-OCH <sub>3</sub>	B 1
1 5 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-OCH <sub>3</sub>	B 1
1 5 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-OCH <sub>3</sub> , 4-OCH <sub>3</sub>	B 1
1 5 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-OCH <sub>3</sub> , 4-OCH <sub>3</sub>	B 1
1 5 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 3-Cl, 4-Cl	B 1
1 5 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl, 5-Cl	B 1
1 5 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl, 6-Cl	B 1
1 6 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-Cl, 5-Cl	B 1
1 6 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 3-Cl, 4-CH <sub>3</sub>	B 1
1 6 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 3-Cl, 4-Br	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
1 6 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 3-Cl, 4-I	B 1
1 6 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Br, 6-Cl	B 1
1 6 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub> , 6-Cl	B 1
1 6 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Br, 4-Cl, 6-Cl	B 1
1 6 7	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	4-Cl	B 1
1 6 8	CH <sub>3</sub>	CH <sub>3</sub>	NCOCH <sub>3</sub>	S	4-Cl	B 1
1 6 9	CH <sub>3</sub>	CH <sub>3</sub>	NCOOCH <sub>3</sub>	S	4-Cl	B 1
1 7 0	CH <sub>3</sub>	CH <sub>3</sub>	NCON(CH <sub>3</sub> ) <sub>2</sub>	S	4-Cl	B 1
1 7 1	CH <sub>3</sub>	CH <sub>3</sub>	NCONHPh	S	4-Cl	B 1
1 7 2	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>	S	4-Cl	B 1

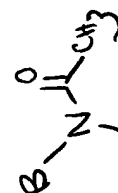


Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
1 7 3	CH <sub>3</sub>	CH <sub>3</sub>	NC <sub>2</sub> H <sub>5</sub>	S	4-Cl	B 1
1 7 4	CH <sub>3</sub>	CH <sub>3</sub>	NC <sub>2</sub> H <sub>7</sub>	S	4-Cl	B 1
1 7 5	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> OCH <sub>3</sub>	S	4-Cl	B 1
1 7 6	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	S	4-Cl	B 1
1 7 7	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> CH=CH <sub>2</sub>	S	4-Cl	B 1
1 7 8	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> C≡CH	S	4-Cl	B 1
1 7 9	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> COCH <sub>3</sub>	S	4-Cl	B 1
1 8 0	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> COOCH <sub>3</sub>	S	4-Cl	B 1
1 8 1	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> COOC <sub>2</sub> H <sub>5</sub>	S	4-Cl	B 1
1 8 2	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> CN	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>a</sub>	B
1 8 3	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> Ph	S	4-Cl	B 1
1 8 4	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -4-Cl	S	4-Cl	B 1
1 8 5	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> C <sub>6</sub> H <sub>4</sub> -4-CH <sub>3</sub>	S	4-Cl	B 1
1 8 6	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>2</sub> CH <sub>2</sub> Ph	S	4-Cl	B 1
1 8 7	CH <sub>3</sub>	CH <sub>3</sub>	NSO <sub>2</sub> CH <sub>3</sub>	S	4-Cl	B 1
1 8 8	CH <sub>3</sub>	CH <sub>3</sub>	NSO <sub>2</sub> N(CH <sub>3</sub> ) <sub>2</sub>	S	4-Cl	B 1
1 8 9	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	4-Cl	B 2
1 9 0	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>	S	4-Cl	B 2
1 9 1	CH <sub>3</sub>	H	NH	S	4-Cl	B 1
1 9 2	CH <sub>3</sub>	H	NH	S	2-Cl, 4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
1 9 3	CH <sub>3</sub>	H	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
1 9 4	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	NH	S	4-Cl	B 1
1 9 5	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	NH	S	4-Br	B 1
1 9 6	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	NH	S	2-Cl, 4-Cl	B 1
1 9 7	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1
1 9 8	CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	NH	S	4-Cl	B 1
1 9 9	CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	NH	S	4-Br	B 1
2 0 0	CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	NH	S	2-Cl, 4-Cl	B 1
2 0 1	CH <sub>3</sub>	i-C <sub>3</sub> H <sub>7</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1
2 0 2	CH <sub>3</sub>	t-C <sub>4</sub> H <sub>9</sub>	NH	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
2 0 3	CH <sub>3</sub>	t-C <sub>4</sub> H <sub>9</sub>	NH	S	2-Cl, 4-Cl	B 1
2 0 4	CH <sub>3</sub>	t-C <sub>4</sub> H <sub>9</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 0 5	CH <sub>3</sub>	CF <sub>3</sub>	NH	S	4-Cl	B 1
2 0 6	CH <sub>3</sub>	CF <sub>3</sub>	NH	S	4-Br	B 1
2 0 7	CH <sub>3</sub>	CF <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 0 8	CH <sub>3</sub>	CF <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 0 9	CH <sub>3</sub>	H	NH	S	4-Cl	B 2
2 1 0	CH <sub>3</sub>	H	NH	S	2-Cl, 4-Cl	B 2
2 1 1	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	NH	S	4-Cl	B 2
2 1 2	CH <sub>3</sub>	i-C <sub>8</sub> H <sub>7</sub>	NH	S	4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 1 3	CH <sub>3</sub>	t-C <sub>4</sub> H <sub>9</sub>	NH	S	4-Cl	B 2
2 1 4	CH <sub>3</sub>	CF <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
2 1 5	CH <sub>3</sub>	CH <sub>2</sub> Ph	NH	S	4-Cl	B 1
2 1 6	CH <sub>3</sub>	CH <sub>2</sub> Ph	NH	S	2-Cl, 4-Cl	B 1
2 1 7	CH <sub>3</sub>	CH <sub>2</sub> Ph	NCHO	S	2-Cl, 4-Cl	B 1
2 1 8	CH <sub>3</sub>	CHO	NH	S	4-Cl	B 1
2 1 9	CH <sub>3</sub>	CHO	NCHO	S	4-Cl	B 1
2 2 0	CH <sub>3</sub>	CHO	NH	S	2-Cl, 4-Cl	B 1
2 2 1	CH <sub>3</sub>	CHO	NCHO	S	2-Cl, 4-Cl	B 1
2 2 2	CH <sub>3</sub>	COCH <sub>3</sub>	NH	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>a</sub>	B
2 2 3	CH <sub>3</sub>	COCH <sub>3</sub>	NH	S	4-Br	B 1
2 2 4	CH <sub>3</sub>	COCH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 2 5	CH <sub>3</sub>	COCH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 1
2 2 6	CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>	NH	S	4-Cl	B 1
2 2 7	CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 2 8	CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 2 9	CH <sub>3</sub>	CONHCH <sub>3</sub>	NH	S	4-Cl	B 1
2 3 0	CH <sub>3</sub>	CONHCH <sub>3</sub>	NH	S	4-Br	B 1
2 3 1	CH <sub>3</sub>	CONHCH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 3 2	CH <sub>3</sub>	CONHCH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
2 3 3	CH <sub>3</sub>	CH <sub>2</sub> Ph	NH	S	4-Cl	B 2
2 3 4	CH <sub>3</sub>	CH <sub>2</sub> Ph	NH	S	2-Cl, 4-Cl	B 2
2 3 5	CH <sub>3</sub>	COCH <sub>3</sub>	NH	S	4-Cl	B 2
2 3 6	CH <sub>3</sub>	CONHCH <sub>3</sub>	NH	S	4-Cl	B 2
2 3 7	CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>	NH	S	4-Cl	B 2
2 3 8	CH <sub>3</sub>	SO <sub>2</sub> CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
2 3 9	H	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 4 0	H	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 4 1	H	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 4 2	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 4 3	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Br	B 1
2 4 4	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 4 5	CF <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1
2 4 6	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 4 7	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	NH	S	4-Br	B 1
2 4 8	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 4 9	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1
2 5 0	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 5 1	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 5 2	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 5 3	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 5 4	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	NH	S	4-Br	B 1
2 5 5	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 5 6	t-C <sub>4</sub> H <sub>9</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 5 7	H	CH <sub>3</sub>	NH	S	4-Cl	B 2
2 5 8	H	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
2 5 9	C <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 2
2 6 0	i-C <sub>3</sub> H <sub>7</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 2
2 6 1	CP <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 2
2 6 2	CP <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 6 3	Cl	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 6 4	Cl	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 6 5	Cl	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 6 6	Cl	CH <sub>3</sub>	NCHO	S	4-Cl	B 1
2 6 7	Cl	CH <sub>3</sub>	NH	S	4-Br	B 1
2 6 8	Cl	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 1
2 6 9	Cl	CH <sub>3</sub>	NCHO	S	2-Cl, 4-CH <sub>3</sub>	B 1
2 7 0	CH <sub>3</sub> O	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 7 1	CH <sub>3</sub> O	CH <sub>3</sub>	NH	S	4-Br	B 1
2 7 2	CH <sub>3</sub> O	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 7 3	CH <sub>3</sub> O	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1
2 7 4	CH <sub>3</sub> O	CH <sub>3</sub>	NCHO	S	4-Cl	B 1
2 7 5	CH <sub>3</sub> O	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 1
2 7 6	CH <sub>3</sub> O	CH <sub>3</sub>	NCHO	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 7 7	CH <sub>3</sub> S	CH <sub>3</sub>	NH	S	4-Cl	B 1
2 7 8	CH <sub>3</sub> S	CH <sub>3</sub>	NH	S	4-Br	B 1
2 7 9	CH <sub>3</sub> S	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1
2 8 0	CH <sub>3</sub> S	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1
2 8 1	CH <sub>3</sub> S	CH <sub>3</sub>	NCHO	S	4-Cl	B 1
2 8 2	CH <sub>3</sub> S	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
2 8 3	Cl	CH <sub>3</sub>	NH	S	4-Cl	B 2
2 8 4	Cl	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
2 8 5	CH <sub>3</sub> O	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
2 8 6	CH <sub>3</sub> S	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
2 8 7	CH <sub>3</sub>	CH <sub>3</sub>	SO	S	4-Cl	B 1
2 8 8	CH <sub>3</sub>	CH <sub>3</sub>	SO <sub>2</sub>	S	4-Cl	B 1
2 8 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	SO	4-Cl	B 1
2 9 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	SO <sub>2</sub>	4-Cl	B 1
2 9 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	SO	2-Cl, 4-Cl	B 1
2 9 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	SO <sub>2</sub>	2-Cl, 4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
2 9 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	SO	3-Cl, 4-CH <sub>3</sub>	B 1
2 9 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	SO <sub>2</sub>	3-Cl, 4-CH <sub>3</sub>	B 1
2 9 5	CH <sub>3</sub>	CH <sub>3</sub>	S	0	4-Cl	B 1
2 9 6	CH <sub>3</sub>	CH <sub>3</sub>	SO	0	4-Cl	B 1
2 9 7	CH <sub>3</sub>	CH <sub>3</sub>	SO <sub>2</sub>	0	4-Cl	B 1
2 9 8	CH <sub>3</sub>	CH <sub>3</sub>	S	0	2-Cl, 4-Cl	B 1
2 9 9	CH <sub>3</sub>	CH <sub>3</sub>	SO	0	2-Cl, 4-Cl	B 1
3 0 0	CH <sub>3</sub>	CH <sub>3</sub>	SO <sub>2</sub>	0	2-Cl, 4-Cl	B 1
3 0 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	4-Cl	B 1
3 0 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	4-CH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
3 0 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	2-Cl, 4-Cl	B 1
3 0 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	2-Cl, 4-CH <sub>3</sub>	B 1
3 0 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	3-Cl, 4-CH <sub>3</sub>	B 1
3 0 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	2-F, 4-Cl	B 1
3 0 7	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	0	4-Cl	B 1
3 0 8	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>	0	4-Cl	B 1
3 0 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	4-Cl	B 2
3 1 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	0	2-Cl, 4-Cl	B 2
3 1 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-F	B 2
3 1 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
3 1 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-CH <sub>3</sub>	B 2
3 1 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 2
3 1 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-Cl	B 2
3 1 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 2
3 1 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 2
3 1 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-F	B 2
3 1 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-Cl	B 2
3 2 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-Br	B 2
3 2 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 2
3 2 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-CH <sub>3</sub>	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
3 2 3	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	4-Cl	B 2
3 2 4	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 2
3 2 5	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	3-Cl, 4-CH <sub>3</sub>	B 2
3 2 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 3
3 2 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Br	B 3
3 2 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 3
3 2 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 3
3 3 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 3
3 3 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 4
3 3 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 4

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
3 3 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 5
3 3 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 5
3 3 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 6
3 3 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 6
3 3 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 7
3 3 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 7
3 3 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 8
3 4 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 8
3 4 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 9
3 4 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 9

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
3 4 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 0
3 4 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 0
3 4 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-Cl	B 1 0
3 4 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 0
3 4 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-CH <sub>3</sub>	B 1 0
3 4 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-Cl	B 1 0
3 4 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 1
3 5 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 1
3 5 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 2
3 5 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W	B
3 5 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 2
3 5 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-F, 4-CH <sub>3</sub>	B 1 2
3 5 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 2
3 5 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	3-Cl, 4-CH <sub>3</sub>	B 1 2
3 5 7	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	4-Cl	B 1 2
3 5 8	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 1 2
3 5 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 3
3 6 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 3
3 6 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 3

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
3 6 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 3
3 6 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 4
3 6 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 4
3 6 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 4
3 6 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 4
3 6 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 5
3 6 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 5
3 6 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 5
3 7 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 5
3 7 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 6

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
3 7 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 6
3 7 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 6
3 7 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 6
3 7 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 7
3 7 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 7
3 7 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 7
3 7 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 7
3 7 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	4-Cl	B 1 8
3 8 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-Cl	B 1 8
3 8 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-Cl, 4-CH <sub>3</sub>	B 1 8

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>n</sup>	B
3 8 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	2-F, 4-CH <sub>3</sub>	B 1 8
3 8 3	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl	B 1
3 8 4	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	3-Cl, 4-Cl	B 1
3 8 5	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-F, 4-Cl	B 1
3 8 6	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-F, 4-CH <sub>3</sub>	B 1
3 8 7	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	3-F, 4-Cl	B 1
3 8 8	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	3-F, 4-CH <sub>3</sub>	B 1
3 8 9	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-Cl, 4-CH <sub>3</sub>	B 1
3 9 0	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	3-Cl, 4-CH <sub>3</sub>	B 1
3 9 1	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-Cl, 3-Cl, 4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
3 9 2	CH <sub>3</sub>	CH <sub>3</sub>	NCHO	S	2-Cl, 4-Cl, 5-Cl	B 1
3 9 3	CH <sub>3</sub>	CH <sub>3</sub>	NC(=O)CH <sub>3</sub>	S	2-Cl, 4-Cl	B 1
3 9 4	CH <sub>3</sub>	CH <sub>3</sub>	NC(=O)CH <sub>3</sub>	S	3-Cl, 4-Cl	B 1
3 9 5	CH <sub>3</sub>	CH <sub>3</sub>	NC(=O)CH <sub>3</sub>	S	2-F, 4-CH <sub>3</sub>	B 1
3 9 6	CH <sub>3</sub>	CH <sub>3</sub>	NC(=O)CH <sub>3</sub>	S	2-Cl, 3-Cl, 4-Cl	B 1
3 9 7	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>	S	2-Cl, 4-Cl	B 1
3 9 8	CH <sub>3</sub>	CH <sub>3</sub>	NCH <sub>3</sub>	S	3-Cl, 4-CH <sub>3</sub>	B 1
3 9 9	CH <sub>3</sub>	CH <sub>3</sub>	NNO	S	2-Cl, 4-Cl	B 1
4 0 0	CH <sub>3</sub>	CH <sub>3</sub>	NNO	S	3-Cl, 4-CH <sub>3</sub>	B 1
4 0 1	CH <sub>3</sub>	CH <sub>3</sub>	NNH <sub>2</sub>	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 0 2	CH <sub>3</sub>	CH <sub>3</sub>	NNH <sub>2</sub>	S	2-Cl, 4-Cl	B 1
4 0 3	CH <sub>3</sub>	CH <sub>3</sub>	NNH <sub>2</sub>	S	3-Cl, 4-CH <sub>3</sub>	B 1
4 0 4	CH <sub>3</sub>	CH <sub>3</sub>	NSO <sub>2</sub> CH <sub>3</sub>	S	2-Cl, 4-Cl	B 1
4 0 5	CH <sub>3</sub>	CH <sub>3</sub>	NSO <sub>2</sub> CH <sub>3</sub>	S	2-F, 4-CH <sub>3</sub>	B 1
4 0 6	CH <sub>3</sub>	CH <sub>3</sub>	NSO <sub>2</sub> CH <sub>3</sub>	S	2-Cl, 3-Cl, 4-Cl	B 1
4 0 7	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	4-Cl	B 1
4 0 8	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	2-Cl, 4-Cl	B 1
4 0 9	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	2-Cl, 4-CH <sub>3</sub>	B 1
4 1 0	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	2-F, 4-CH <sub>3</sub>	B 1
4 1 1	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	4-Cl	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 1 2	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	2-Cl, 4-Cl	B 1
4 1 3	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	2-Cl, 4-CH <sub>3</sub>	B 1
4 1 4	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	3-Cl, 4-CH <sub>3</sub>	B 1
4 1 5	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	2-F, 4-CH <sub>3</sub>	B 1
4 1 6	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	3-F, 4-CH <sub>3</sub>	B 1
4 1 7	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCCH <sub>3</sub> )	S	4-Cl	B 1
4 1 8	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCCH <sub>3</sub> )	S	2-Cl, 4-Cl	B 1
4 1 9	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	4-Cl	B 1
4 2 0	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-Cl, 4-Cl	B 1
4 2 1	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-Cl, 4-CH <sub>3</sub>	B 1

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>a</sub>	B
4 2 2	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-P, 4-CH <sub>3</sub>	B 1
4 2 3	CH <sub>3</sub>	CH <sub>3</sub>	CH(F)	S	4-Cl	B 1
4 2 4	CH <sub>3</sub>	CH <sub>3</sub>	CH(F)	S	2-Cl, 4-Cl	B 1
4 2 5	CH <sub>3</sub>	CH <sub>3</sub>	CH(Cl)	S	4-Cl	B 1
4 2 6	CH <sub>3</sub>	CH <sub>3</sub>	CH(Cl)	S	2-Cl, 4-Cl	B 1
4 2 7	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	4-Cl	B 1
4 2 8	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	2-Cl, 4-Cl	B 1
4 2 9	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	2-Cl, 4-CH <sub>3</sub>	B 1
4 3 0	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	2-P, 4-CH <sub>3</sub>	B 1
4 3 1	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>n</sub>	B
4 3 2	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	2-Cl, 4-Cl	B 2
4 3 3	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	2-Cl, 4-CH <sub>3</sub>	B 2
4 3 4	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	2-F, 4-CH <sub>3</sub>	B 2
4 3 5	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	4-Cl	B 2
4 3 6	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	2-Cl, 4-Cl	B 2
4 3 7	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	2-Cl, 4-CH <sub>3</sub>	B 2
4 3 8	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	3-Cl, 4-CH <sub>3</sub>	B 2
4 3 9	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	2-F, 4-CH <sub>3</sub>	B 2
4 4 0	CH <sub>3</sub>	CH <sub>3</sub>	CH(OH)	S	3-F, 4-CH <sub>3</sub>	B 2
4 4 1	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCH <sub>3</sub> )	S	4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 4 2	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-Cl, 4-Cl	B 2
4 4 3	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	4-Cl	B 2
4 4 4	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-Cl, 4-Cl	B 2
4 4 5	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-Cl, 4-CH <sub>3</sub>	B 2
4 4 6	CH <sub>3</sub>	CH <sub>3</sub>	CH(OCOCH <sub>3</sub> )	S	2-F, 4-CH <sub>3</sub>	B 2
4 4 7	CH <sub>3</sub>	CH <sub>3</sub>	CH(F)	S	4-Cl	B 2
4 4 8	CH <sub>3</sub>	CH <sub>3</sub>	CH(F)	S	2-Cl, 4-Cl	B 2
4 4 9	CH <sub>3</sub>	CH <sub>3</sub>	CH(Cl)	S	4-Cl	B 2
4 5 0	CH <sub>3</sub>	CH <sub>3</sub>	CH(Cl)	S	2-Cl, 4-Cl	B 2
4 5 1	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 5 2	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	2-Cl, 4-Cl	B 2
4 5 3	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	2-Cl, 4-CH <sub>3</sub>	B 2
4 5 4	CH <sub>3</sub>	CH <sub>3</sub>	C=O	S	2-F, 4-CH <sub>3</sub>	B 2
4 5 5	CH <sub>3</sub>	CH <sub>3</sub>	C(CH <sub>3</sub> )(OH)	S	4-Cl	B 2
4 5 6	CH <sub>3</sub>	CH <sub>3</sub>	C(CH <sub>3</sub> )(OH)	S	2-Cl, 4-Cl	B 2
4 5 7	CH <sub>3</sub>	CH <sub>3</sub>	C(CH <sub>3</sub> )(OCOCH <sub>3</sub> )	S	4-Cl	B 2
4 5 8	CH <sub>3</sub>	CH <sub>3</sub>	C(CH <sub>3</sub> )(OCOCH <sub>3</sub> )	S	2-Cl, 4-Cl	B 2
4 5 9	CH <sub>3</sub>	CH <sub>3</sub>	C(CH <sub>3</sub> )(F)	S	4-Cl	B 2
4 6 0	CH <sub>3</sub>	CH <sub>3</sub>	C(CH <sub>3</sub> )(F)	S	2-Cl, 4-Cl	B 2
4 6 1	CH <sub>3</sub>	CH <sub>3</sub>	C(C <sub>2</sub> H <sub>5</sub> )(OH)	S	4-Cl	B 2

Table 1 (continued)

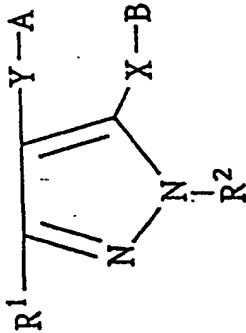
Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 6 2	CH <sub>3</sub>	CH <sub>3</sub>	C(C <sub>2</sub> H <sub>5</sub> )(OH)		S 2-Cl, 4-Cl	B 2
4 6 3	CH <sub>3</sub>	CH <sub>3</sub>	C(i-C <sub>3</sub> H <sub>7</sub> )(OH)		S 4-Cl	B 2
4 6 4	CH <sub>3</sub>	CH <sub>3</sub>	C(i-C <sub>3</sub> H <sub>7</sub> )(OH)		S 2-Cl, 4-Cl	B 2
4 6 5	CH <sub>3</sub>	CH <sub>3</sub>	C(i-C <sub>3</sub> H <sub>7</sub> )(OH)		S 2-Cl, 4-CH <sub>3</sub>	B 2
4 6 6	CH <sub>3</sub>	CH <sub>3</sub>	C(i-C <sub>3</sub> H <sub>7</sub> )(OH)		S 2-P, 4-CH <sub>3</sub>	B 2
4 6 7	CH <sub>3</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		S 4-Cl	B 2
4 6 8	CH <sub>3</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		S 2-Cl, 4-Cl	B 2
4 6 9	CH <sub>3</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		S 2-Cl, 4-CH <sub>3</sub>	B 2
4 7 0	CH <sub>3</sub>	CH <sub>3</sub>	CH(CH <sub>3</sub> )		S 2-P, 4-CH <sub>3</sub>	B 2
4 7 1	Cl	CH <sub>3</sub>	CH <sub>3</sub>		S 4-Cl	B 2

Table 1 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>2</sub>	B
4 7 2	Cl	CH <sub>3</sub>	CH <sub>2</sub>	S	2-Cl, 4-Cl	B 2
4 7 3	Cl	CH <sub>3</sub>	CH <sub>2</sub>	S	2-Cl, 4-CH <sub>3</sub>	B 2
4 7 4	Cl	CH <sub>3</sub>	CH <sub>2</sub>	S	2-F, 4-CH <sub>3</sub>	B 2
4 7 5	CH <sub>3</sub> O	CH <sub>3</sub>	CH <sub>2</sub>	S	4-Cl	B 2
4 7 6	CH <sub>3</sub> O	CH <sub>3</sub>	CH <sub>2</sub>	S	2-Cl, 4-Cl	B 2
4 7 7	CH <sub>3</sub> O	CH <sub>3</sub>	CH <sub>2</sub>	S	2-Cl, 4-CH <sub>3</sub>	B 2
4 7 8	CH <sub>3</sub> O	CH <sub>3</sub>	CH <sub>2</sub>	S	2-F, 4-CH <sub>3</sub>	B 2

Table 2

In compounds of:



Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	A	B
4 7 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 1	B 1
4 8 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 1	B 2
4 8 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 2	B 1

Table 2 (continued)

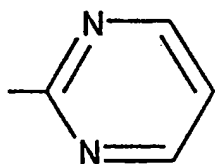
Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sup>a</sup>	B
4 8 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 2	B 2
4 8 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 3	B 1
4 8 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 3	B 2
4 8 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 4	B 1
4 8 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 4	B 2
4 8 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 5	B 1
4 8 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 5	B 2
4 8 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 6	B 1
4 9 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 6	B 2
4 9 1	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 7	B 1

Table 2 (continued)

Compound No.	R <sup>1</sup>	R <sup>2</sup>	X	Y	W <sub>1</sub>	B
4 9 2	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 7	B 2
4 9 3	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 8	B 1
4 9 4	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 8	B 2
4 9 5	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 9	B 1
4 9 6	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 9	B 2
4 9 7	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 1 0	B 1
4 9 8	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 1 0	B 2
4 9 9	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 1 1	B 1
5 0 0	CH <sub>3</sub>	CH <sub>3</sub>	NH	S	A 1 1	B 2

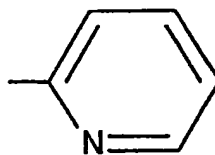
In the above-mentioned tables, B1 to B18 represent the following chemical structures:

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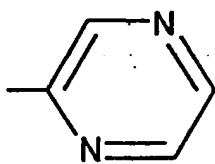
B1

10



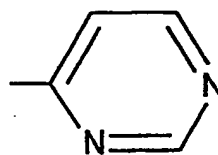
B2

15



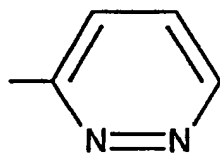
B3

20



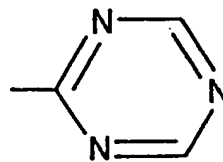
B4

25



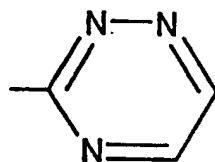
B5

30



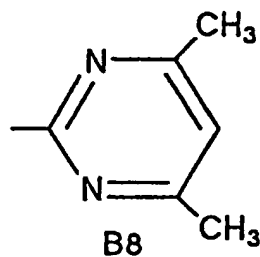
B6

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B7

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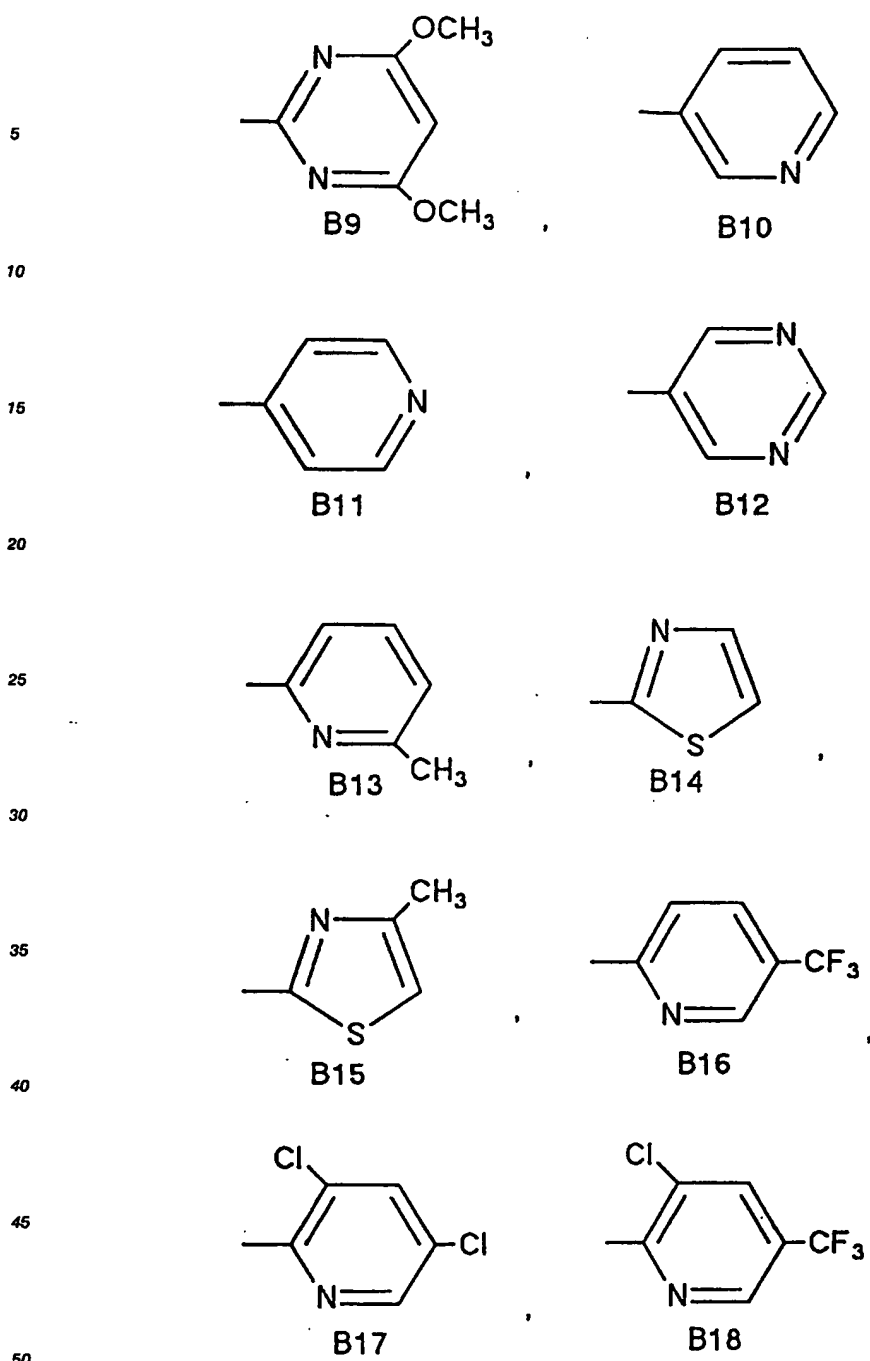


B8

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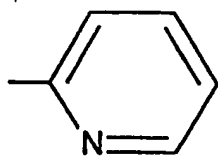
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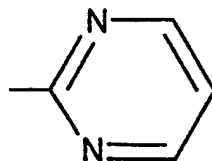
In the above-mentioned tables, A1 to A11 represent the following chemical structures:

55

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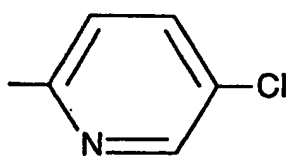


A1

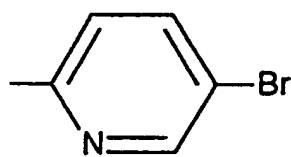


A2

10



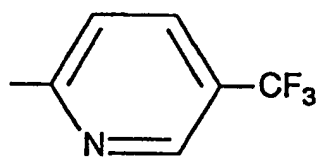
A3



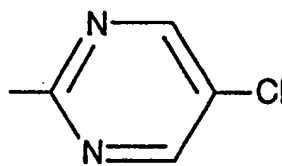
A4

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A5



A6

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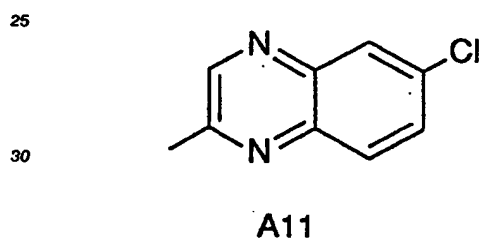
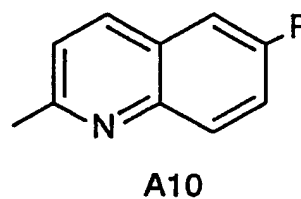
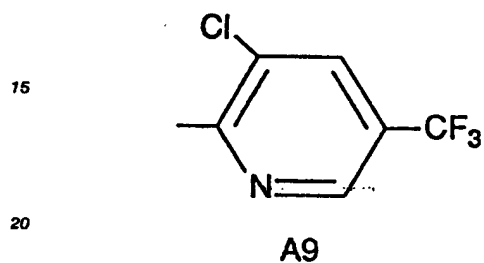
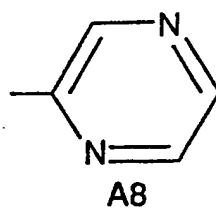
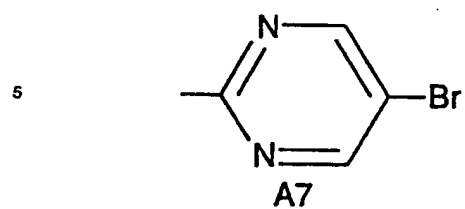
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Next, methods of producing compounds of the present invention are shown below by way of the reaction schemes, which will be explained hereunder.

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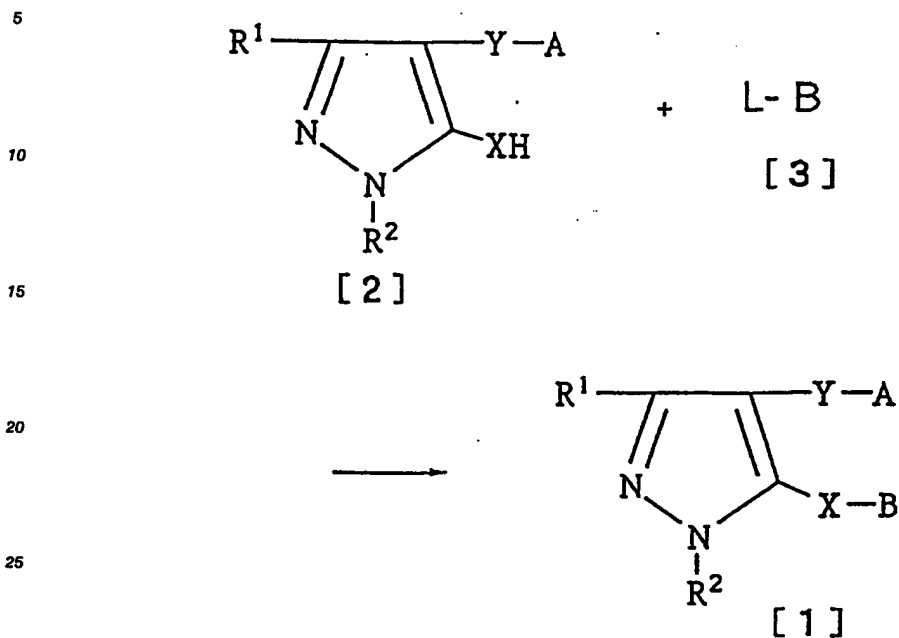
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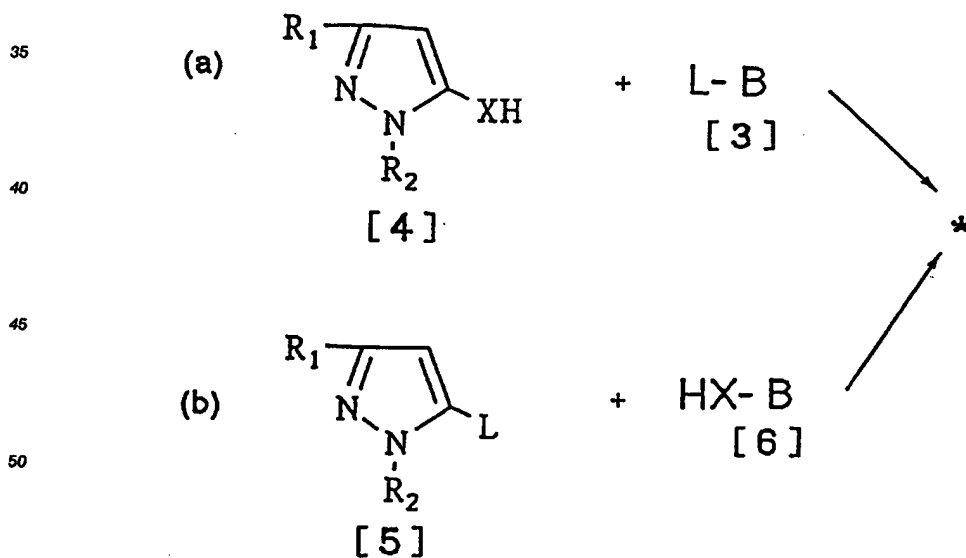
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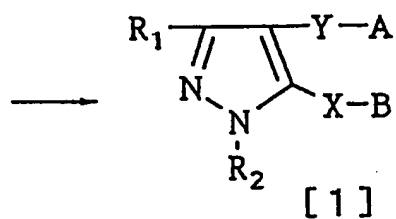
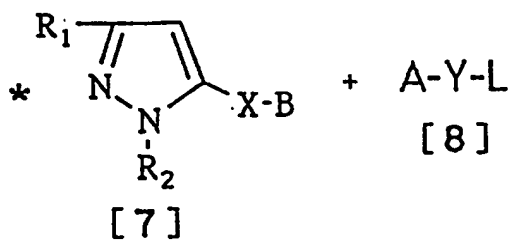
Reaction Schemes

(Method 1)



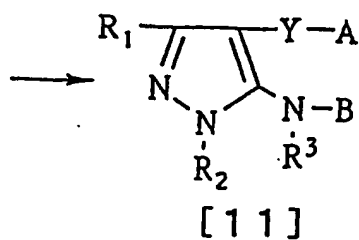
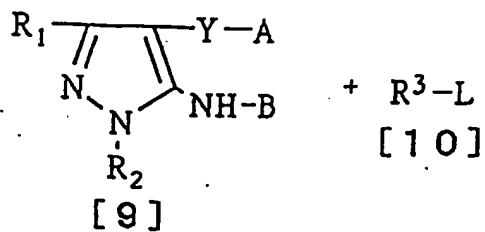
(Method 2)





(Method 3)

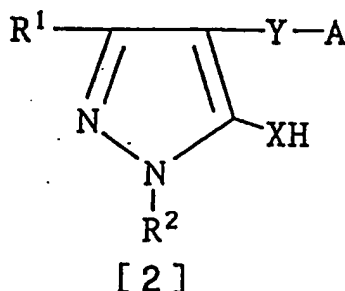
When  $X = N-R^3$ ,  $R^3 \neq H$ :



(Method 1)

50 Compounds of the present invention are produced by reacting a substituted pyrazole of a general formula [2]:

55



where  $R^1$ ,  $R^2$ , X, Y and A have the same meanings as mentioned above, and a heterocyclic compound of a  
15 general formula [3]:



where L represents a leaving group such as a halogen atom or the like; and B has the same meaning as  
20 mentioned above. In the case, where X is  $-NCOR^4$  or  $-NSO_2R^5$ , the product may be hydrolyzed by post-treatment or the like to give a compound where X is  $-NH$ .

The above-mentioned reaction does not always need a solvent. If needed, however, the solvent may  
selected from, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated  
hydrocarbons such as dichloroethane and the like, ethers such as diisopropyl ether, dioxane and the like,  
25 esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such  
as dimethylsulfoxide, dimethylformamide and the like.

If desired, an organic base (e.g., pyridine, triethylamine, etc.) or an inorganic base (e.g., potassium  
carbonate, sodium hydride, etc.) may be added to the reaction system.

If desired, a copper salt or a copper complex may also be added thereto as a catalyst.

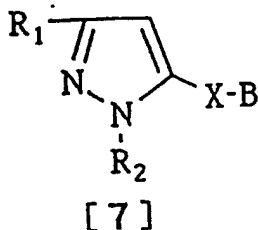
30 Regarding the amounts of the reactants of the above-mentioned reaction, the heterocyclic compound of  
formula [3] is within the range of from 1 to 5 equivalents to one equivalent of the substituted pyrazole of  
formula [2].

The reaction temperature of the reaction is not defined, but in general, it is preferably from room  
temperature to  $200^\circ\text{C}$  or the reflux temperature of the solvent used.

35 After the reaction, the intended product may be obtained by ordinary treatment of the reaction mixture.

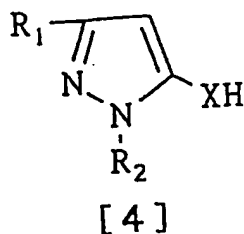
(Method 2)

(a) A compound of a general formula [7]:



where  $R^1$ ,  $R^2$ , X and B have the same meanings as mentioned above, is produced by reacting a pyrazole  
of a general formula [4]:

55



where R<sup>1</sup>, R<sup>2</sup> and X have the same meanings as mentioned above, and a heterocyclic compound of formula [3] optionally in the presence of a suitable solvent and a suitable base. In the case, where X is -NCOR<sup>4</sup> or -NSO<sub>2</sub>R<sup>5</sup>, the product may be hydrolyzed by post-treatment or the like to give a compound where X is -NH.

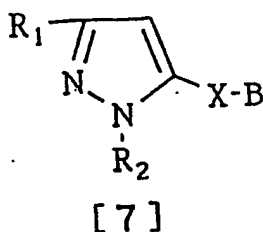
The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, potassium carbonate, sodium hydride and the like.

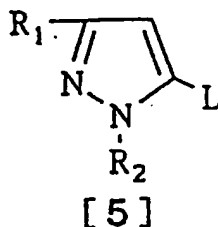
If desired, a copper salt or a copper complex may be added to the reaction system as a catalyst.

The reaction temperature of the reaction is not defined, but in general, it is preferably from room temperature to 200 °C or the reflux temperature of the solvent used.

(b) A compound of a general formula [7]:



where R<sup>1</sup>, R<sup>2</sup>, X and B have the same meanings as mentioned above is produced by reacting a pyrazole of a general formula [5]:



where R<sup>1</sup> and R<sup>2</sup> have the same meanings as mentioned above, and L represents a leaving group such as a halogen atom or the like, and a heterocyclic compound of a general formula [6]:



where X and B have the same meanings as mentioned above, optionally in the presence of a suitable

solvent and a suitable base. In the case, where X is  $-\text{NCOR}^4$  or  $-\text{NSO}_2\text{R}^5$ , the product may be hydrolyzed by post-treatment or the like to give a compound where X is  $-\text{NH}$ .

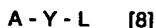
The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, potassium carbonate, sodium hydride and the like.

If desired, a copper salt or a copper complex may be added to the reaction system as a catalyst.

The reaction temperature of the reaction is not defined, but in general, it is preferably from room temperature to  $200^\circ\text{C}$  or the reflux temperature of the solvent used.

Next, the pyrazole of formula [7] as obtained in the above-mentioned reaction (a) or (b) is reacted with a compound of a general formula [8]:



where A has the same meaning as mentioned above, Y has the same meaning as mentioned above, except oxygen atom, and L represents a leaving group such as a halogen atom or the like, optionally in the presence of a suitable solvent and a suitable base, to give a compound of the present invention.

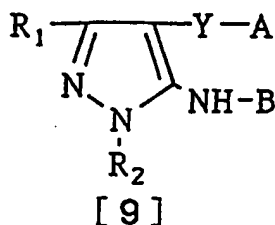
The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane, chloroform, carbon tetrachloride and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, pyridine, triethylamine, potassium carbonate and the like.

The reaction temperature of the reaction is not defined, but in general, it is preferably from  $0^\circ\text{C}$  to  $100^\circ\text{C}$ .

(Method 3) When  $\text{X} = \text{N-R}^3$ ,  $\text{R}^3 \neq \text{H}$ :

A compound of the present invention is produced by reacting a pyrazole of a general formula [9]:



where  $\text{R}^1$ ,  $\text{R}^2$ , Y, A and B have the same meanings as mentioned above, and a compound of a general formula [10]:



where  $\text{R}^3$  has the same meaning as mentioned above except hydrogen atom, and L represents a leaving group such as a halogen atom or the like, optionally in the presence of a suitable solvent and a suitable base.

The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as benzene, toluene, xylene and the like, halogenated hydrocarbons such as dichloroethane, chloroform, carbon tetrachloride and the like, ethers such as diisopropyl ether, tetrahydrofuran, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, organic bases such as pyridin , triethylamine and the like, and inorganic bases such as potassium carbonate, sodium hydride and the like.

The reaction temperature of the reaction is not defined, but in general, it is preferably from 0 °C to 100 °C.

5

## EXAMPLES

Next, concrete production examples are mentioned below.

### 10 Preparation Example 1 (preparation of compound No. 5 of the invention)

1.4 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-mercaptopyrazole and 1.2 g of 2-chloropyridine were stirred under heat at 120 °C for 1.5 hours. After cooled, 60 ml of ethyl acetate was added thereto and stirred, and the insoluble components were taken out by filtration. The filtrate was concentrated and then  
 15 purified by silica gel column chromatography (developing solution; chloroform/ethyl acetate = 9/1), to give 0.6 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-(2-pyrimidylthio) pyrazole. Oily product.  $n_D^{21.0} = 1.6465$ .

### Preparation Example 2 (preparation of compound No. 49 of the invention)

#### 20 ① Preparation of N-(1,3-dimethyl-5-pyrazolyl)formamide:

20 g of 5-amino-1,3-dimethylpyrazole was dissolved in 29 g of formic acid (85 %), and 55 g of acetic anhydride was dropwise added thereto under cooling with ice. After stirred for 3 days at room temperature, the reaction mixture was concentrated under reduced pressure and then purified by silica gel column  
 25 chromatography (developing solution; chloroform) to give 12.8 g of N-(1,3-dimethyl-5-pyrazolyl)formamide.

#### ② Preparation of 1,3-dimethyl-5-(2-pyridylamino)pyrazole:

A mixed solution comprising 4.1 g (29 mmol) of N-(1,3-dimethyl-5-pyrazolyl)formamide and 10 ml of  
 30 N,N-dimethylformamide was dropwise added to a suspension of 70 ml of N,N-dimethylformamide containing 1.6 g of sodium hydride (55 %), under cooling with ice. After this was stirred for 2 hours at room temperature, a mixed solution comprising 3.4 g (30 mmol) of 2-chloropyrimidine and 10 ml of N,N-dimethylformamide was added thereto. After this was stirred under heat at 100 °C for further 2 days, the solvent was removed therefrom by distillation under reduced pressure and water was added thereto. Then,  
 35 this was extracted with chloroform, washed with water and dried with anhydrous sodium sulfate. After this was filtered, the solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography to give 2.4 g of 1,3-dimethyl-5-(2-pyrimidylamino)pyrazole. m.p. 179.0 to 182.0 °C.

#### 40 ③ Preparation of compound No. 49 of the invention:

1.1 g of 1,3-dimethyl-5-(2-pyrimidylamino)pyrazole was dissolved in 30 ml of chloroform. 0.5 g of 4-chlorophenylsulfenyl chloride was dropwise added to the resulting solution at room temperature and reacted for one hour with stirring. The organic layer was washed with 30 ml of water and then dried with anhydrous  
 45 sodium sulfate.

The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 0.8 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-(2-pyrimidylamino)pyrazole. m.p. 158.0 to 159.0 °C.

### 50 Preparation Example 3 (preparation of compound No. 172 of the invention)

#### ① Preparation of 1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole:

1.0 g of 1,3-dimethyl-5-(2-pyrimidylamino)pyrazole was added to a suspension of 10 ml of THF  
 55 containing 0.25 g of sodium hydride (55 %), little by little under cooling with ice and then stirred for one hour at 60 °C. The solution was cooled to room temperature, and 4.1 g of methyl iodide was added thereto and refluxed gently for 2 hours. After cooled, 10 ml of water was added thereto. Then, this was extracted three times each with 30 ml of diethyl ether. The ether layer was dried with anhydrous sodium sulfate, the

solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 0.5 g of 1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole. Yellow oily product.

5 ② Preparation of compound No. 172 of the invention:

0.14 g of p-chlorophenylsulfenyl chloride was dropwise added to a solution of 10 ml of chloroform containing 0.2 g of 1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole and stirred for 15 hours at room temperature.

10 The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 0.25 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole. m.p. 77.0 to 78.0 °C.

Preparation Example 4 (preparation of compound No. 314 of the invention)

15

① Preparation of 1,3-dimethyl-5-(2-pyridylamino)pyrazole:

9.9 g of anhydrous potassium carbonate and 1 g of copper(II) acetylacetonate were added to a mixed solution of 60 ml of N,N-dimethylformamide containing 10 g of N-(1,3-dimethyl-5-pyrazolyl)formamide and 10.2 g of 2-bromopyridine and heated under reflux for 3 hours. After the solvent was removed by distillation under reduced pressure, water was added to the reaction mixture, which was then extracted with chloroform. The organic layer was washed with water and dried with anhydrous sodium sulfate.

20 The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform/ethyl acetate) to give 4.6 g of 1,3-dimethyl-5-(2-pyridylamino)pyrazole. m.p. 113.0 to 115.0 °C.

② Preparation of compound No. 314 of the invention:

1.27 g of 1,3-dimethyl-5-(2-pyridylamino)pyrazole was dissolved in 50 ml of chloroform and cooled with ice water. 1.55 g of 2,4-dichlorophenylsulfenyl chloride was dropwise added to the solution and stirred for 15 hours at room temperature.

30 The solution was washed with an aqueous sodium hydrogencarbonate solution and then with water and dried with anhydrous sodium sulfate. The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 1.75 g of 4-(2,4-dichlorophenylthio)-1,3-dimethyl-5-(2-pyridylamino)pyrazole. m.p. 144.0 to 145.0 °C.

Preparation Example 5 (preparation of compound No. 435 of the invention)

① Preparation of 2-pyridyl-(1,3-dimethyl-5-pyrazolyl)methanol:

40

300 ml of dry tetrahydrofuran solution containing 5.5 g of 2-bromopyridine was cooled to -78 °C, and 5.45 g of n-butyl lithium hexane solution (15 w/w %) was dropwise added thereto and stirred for 30 minutes. Then, 4.2 g of 1,3-dimethyl-5-formylpyrazole was dropwise added thereto. Afterwards, this was gradually heated up to room temperature and stirred for 15 hours.

45 The solution was neutralized by adding 2 N hydrochloric acid thereto, and then extracted three times each with 150 ml of ethyl acetate. The organic layer was dried with anhydrous sodium sulfate, the solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 5.2 g of 2-pyridyl-(1,3-dimethyl-5-pyrazolyl)-methanol as a brown oily product.

50

② Preparation of compound No. 435 of the invention:

3.4 g of p-chlorophenylsulfenyl chloride was dropwise added to 60 ml of dry chloroform solution containing 3 g of 2-pyridyl-(1,3-dimethyl-5-pyrazolyl)methanol at room temperature and stirred for 12 hours. 55 After the solvent was removed by distillation, 50 ml of ethyl acetate and 50 ml of aqueous 10 % sodium hydrogencarbonate solution were added to this and stirred for 30 minutes. The organic layer was separated, and the aqueous layer was extracted three times each with 50 ml of ethyl acetate. The combined organic layers were dried with anhydrous sodium sulfate. The solvent was removed by distillation under reduced

pressur , and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 1.2 g of 2-pyridyl-4-(4-chlorophenylthio)-1,3-dimethyl-5-pyrazolyl)methanol as white crystals. m.p. 90.0 to 91.0 °C.

# Preparation Example 6 (preparation of compound No. 451 of the invention)

1.2 g of compound No. 435 of the invention as obtained in Production Example 5 was dissolved in 50 ml of dry dichloromethane, and 1.5 g of manganese oxide was added thereto at room temperature and stirred for 2 hours. The insoluble component was removed by filtration with Celite, the solvent was removed by distillation under reduced pressure, and the residue was crystallized from diisopropyl ether to give 1.0 g of 2-pyridyl-4-(4-chlorophenylthio)-1,3-dimethyl-5-pyrazolyl)ketone as white crystals. m.p. 111.0 to 113.0 °C.

Physical properties of compounds as produced in accordance with these methods are shown in Table 3 below.

Table 3

Compound No.	Physical properties	<sup>1</sup> H-NMR	
		δ (ppm, CDCl <sub>3</sub> ) , standard substance TMS	
5	oily	n <sub>D</sub> <sup>20</sup> = 1.6465	
47	m.p.	150.0 ~ 151.0 °C	
49	m.p.	158.0 ~ 159.0 °C	
52	m.p.	170.0 ~ 171.0 °C	
55	m.p.	150.0 ~ 152.0 °C	
56	m.p.	181.0 ~ 182.0 °C	
57	m.p.	190.0 ~ 193.0 °C	
58	m.p.	159.0 ~ 162.0 °C	

Table 3

Compound		<sup>1</sup> H-NMR	
No	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> ), standard substance TMS	
5 9	m. p. 168.5~171.5 °C		
6 0	m. p. 157.0~158.0 °C		
6 1	m. p. 170.5~172.5 °C		
6 3	m. p. 160.0~162.0 °C		
6 4	m. p. 156.0~157.0 °C		
6 6	m. p. 180.0~183.0 °C		
6 7	m. p. 125.5~127.0 °C		
6 8	m. p. 154.0~157.0 °C		
6 9	m. p. 126.0~127.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No.	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> )	standard substance TMS
7 0	m. p. 178.0 ~ 179.0 °C		
7 1	m. p. 163.5 ~ 167.5 °C		
7 2	m. p. 174.0 ~ 176.0 °C		
7 3	m. p. 132.5 ~ 135.5 °C		
7 6	m. p. 148.0 ~ 151.0 °C		
7 9	m. p. 127.5 ~ 128.5 °C		
8 1	m. p. 180.0 ~ 184.0 °C		
8 3	m. p. 175.0 ~ 177.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	δ (ppm, CDCl <sub>3</sub> )	standard substance TMS
9 5	m. p. 160.0 ~ 162.0 °C		
9 6	m. p. 180.0 ~ 181.5 °C		
9 7	m. p. 186.0 ~ 188.5 °C		
9 8	m. p. 201.0 ~ 205.0 °C		
9 9	m. p. 166.0 ~ 168.0 °C		
1 0 0	m. p. 164.5 ~ 166.5 °C		
1 0 2	m. p. 161.0 ~ 163.0 °C		
1 0 5	m. p. 148.5 ~ 150.0 °C		
1 0 6	m. p. 134.5 ~ 137.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
Na	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> )	standard substance TMS
1 0 8	m. p. 189.0 ~ 191.0 °C		
1 1 0	m. p. 135.0 ~ 137.0 °C		
1 1 3	m. p. 178.0 ~ 180.0 °C		
1 1 6	m. p. 158.0 ~ 160.0 °C		
1 2 0	m. p. 164.0 ~ 166.0 °C		
1 2 4	m. p. 140.0 ~ 141.0 °C		
1 2 6	m. p. 159.0 ~ 161.0 °C		
1 2 9	m. p. 147.0 ~ 148.0 °C		
1 3 2	m. p. 181.0 ~ 183.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> )	standard substance TMS
1 3 3	m. p. 196.0 ~ 198.0 °C		
1 4 2	m. p. 162.0 ~ 164.0 °C		
1 4 4	m. p. 143.0 ~ 145.0 °C		
1 4 6	m. p. 151.5 ~ 153.5 °C		
1 4 9	m. p. 214.0 ~ 216.0 °C		
1 5 4	m. p. 139.0 ~ 140.0 °C		
1 5 7	m. p. 192.5 ~ 195.5 °C		
1 5 9	m. p. 190.5 ~ 193.5 °C		
1 6 7	m. p. 123.0 ~ 124.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	δ (ppm, CDCl <sub>3</sub> )	standard substance TMS
1 6 8	m.p. 152.0~154.0 °C		
1 6 9	semi-crystalline	2.20(s, 3H), 3.65(s, 3H), 3.69(s, 3H), 6.60~7.00(m, 5H), 8.35(d, 2H, J=5Hz)	
1 7 0	m.p. 183.0~184.0 °C		
1 7 2	m.p. 77.0~78.0 °C		
1 7 5	m.p. 70.0~72.0 °C		
1 7 6	oily n <sub>D</sub> <sup>20</sup> = 1.5957		
1 7 7	m.p. 84.0~87.0 °C		
1 7 8	m.p. 83.0~85.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	δ (ppm, CDCl <sub>3</sub> , )	standard substance TMS
1 7 9	oily	2.08(s, 3H), 2.19(s, 3H), 3.79(s, 3H), 4.09(d, 1H, J=18Hz), 5.10(d, 1H, J=18Hz) 6.54(t, 1H, J=5Hz), 6.90(s, 4H), 8.13(d, 2H, J=5Hz)	
1 8 0	m.p. 98.0~100.0 °C		
1 8 2	m.p. 128.0~129.0 °C		
1 8 4	oily	2.20(s, 3H), 3.21(s, 3H), 4.50(d, 1H, J=14Hz), 5.52(d, 1H, J=14Hz), 6.58(t, 1H, J=5Hz), 6.91(s, 4H), 7.08(s, 4H), 8.18(d, 2H, J=5Hz)	
1 8 7	m.p. 164.0~166.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
Na	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> )	standard substance TMS
1 8 8	m.p. 189.0~191.0 °C		
1 9 4	m.p. 142.0~143.5 °C		
1 9 5	m.p. 140.5~141.5 °C		
1 9 7	m.p. 149.0~152.0 °C		
1 9 8	m.p. 168.5~169.5 °C		
1 9 9	m.p. 164.5~167.0 °C		
2 0 1	m.p. 183.0~187.0 °C		
2 1 6	m.p. 205.0~207.0 °C		
2 9 1	m.p. 194.0~196.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	δ (ppm, CDCl <sub>3</sub> )	standard substance TMS
2 9 2	m.p. 186.0 ~ 188.0 °C		
3 0 1	m.p. 148.0 ~ 150.0 °C		
3 0 3	m.p. 165.0 ~ 166.0 °C		
3 1 1	m.p. 127.0 ~ 128.0 °C		
3 1 2	m.p. 167.0 ~ 168.0 °C		
3 1 4	m.p. 144.0 ~ 145.0 °C		
3 1 5	m.p. 126.0 ~ 128.0 °C		
3 1 6	m.p. 145.0 ~ 147.0 °C		
3 1 7	m.p. 118.0 ~ 120.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	δ (ppm, CDCl <sub>3</sub> )	standard substance TMS
3 1 8	m. p. 112.0 ~ 114.0 °C		
3 1 9	m. p. 99.0 ~ 100.0 °C		
3 2 0	m. p. 99.0 ~ 100.0 °C		
3 2 1	m. p. 122.0 ~ 124.0 °C		
3 2 2	m. p. 107.0 ~ 108.0 °C		
3 2 6	m. p. 158.0 ~ 160.0 °C		
3 2 8	m. p. 168.0 ~ 169.0 °C		
3 3 9	m. p. 147.0 ~ 148.0 °C		
3 4 1	m. p. 128.0 ~ 130.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
Na	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> ), standard substance TMS	
3 4 3	m.p. 128.0~131.0 °C		
3 4 4	m.p. 180.0~181.0 °C		
3 4 5	m.p. 301.0~302.0 °C		
3 4 6	m.p. 185.0~186.0 °C		
3 4 7	m.p. 106.0~107.0 °C		
3 5 1	m.p. 160.0~161.0 °C		
3 5 2	m.p. 161.0~163.0 °C		
3 5 4	m.p. 142.0~144.0 °C		
3 5 5	m.p. 128.0~130.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	δ (ppm, CDCl <sub>3</sub> )	standard substance TMS
3 6 3	m.p. 148.0~149.0 °C		
3 6 5	m.p. 172.0~174.0 °C		
3 6 7	m.p. 132.0~133.0 °C		
3 9 1	m.p. 140.0~141.0 °C		
4 0 2	resinous	2.15(s,3H), 3.70(s,3H), 4.62(bs,2H), 6.50~7.20(m,4H), 8.16(d,2H,J=5Hz)	
4 3 5	m.p. 90.0~91.0 °C		
4 3 6	m.p. 142.0~145.0 °C		

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> )	standard substance TMS
4 4 0	resinous	2.20(s, 6H), 3.55(s, 3H), 5.10(bs, 1H), 6.05(s, 1H), 6.50~7.60(m, 6H), 8.40(d, 1H, J=5Hz)	
4 4 1	oily	2.17(s, 3H), 3.30(s, 3H), 3.68(s, 3H), 5.68(s, 1H), 6.80~7.70(m, 7H), 8.30~8.50(m, 1H)	
4 4 3	oily	2.10(s, 3H), 2.25(s, 3H), 4.05(s, 3H), 6.80~8.70(m, 9H),	

Table 3 (continued)

Compound		<sup>1</sup> H-NMR	
No	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> )	standard substance TMS
4 4 7	oily	2.19(s, 3H), 3.77(s, 3H), 6.67(d, 1H, J=45Hz), 6.90~7.70(m, 7H), 8.51(d, 1H, J=5Hz)	
4 5 1	m.p. 111.0~113.0 °C		
4 5 5	oily	2.03(s, 3H), 2.16(s, 3H), 3.69(s, 3H), 6.00(bs, 1H), 6.80~8.51(m, 8H)	
4 6 3	resinous	0.50(d, 3H, J=7Hz), 1.00(d, 3H, J=7Hz), 2.02(s, 3H), 3.21~3.65(m, 1H), 3.87(s, 3H), 6.00(bs, 3H), 6.61~8.35(m, 8H)	

Table 3 (continued)

Compound		<sup>1</sup> H-NMR
No	Physical properties	$\delta$ (ppm, CDCl <sub>3</sub> ) , standard substance TMS
4 8 1	semi-crystalline	2.26(s, 3H), 3.72(s, 3H), 6.62(t, 1H, J=5Hz), 6.88(t, 1H, J=5Hz), 7.61(bs, 1H), 8.23(d, 2H, J=5Hz), 8.34(d, 2H, J=5Hz)
4 8 3	m. p. 167.0~169.0 °C	
4 8 5	m. p. 187.0~189.0 °C	
4 9 7	m. p. 204.0~206.0 °C	
4 9 9	m. p. 159.0~161.0 °C	

Where compounds of the present invention are used as a fungicide for agricultural and horticultural use, in general, they may be mixed with a suitable carrier, for example, a solid carrier such as clay, talc, bentonite, diatomaceous earth or the like, or a liquid carrier such as water, alcohols (e.g., methanol, ethanol, etc.), aromatic hydrocarbons (e.g., benzene, toluene, xylene, etc.), chlorinated hydrocarbons, ethers, ketones, esters (e.g., ethyl acetate, etc.), acid, amides (e.g., dimethylformamide, etc.) or the like. If desired,

they may be blended with an emulsifier, a dispersing agent, a suspending agent, a penetrating agent, a spreader, a stabilizer and the like to be formed into various practical formulations of liquid, oil, emulsion, wettable powder, powder, granules, flowable or the like.

If desired, they may also be combined with any other herbicides, various insecticides, fungicides, plant growth regulators, synergists and others, in forming them into formulations or in actually sprinkling them onto plants.

The amount of the compound of the present invention to be applied to plants varies, depending upon the place, time, method, plant diseases, growing crops and other conditions. In general, the effective amount is suitably from 0.005 to 50 kg or so per ha (hectare).

Next, some examples of fungicidal formulations of containing the compound of the present invention as an active ingredient are shown below, which, however, are not limitative. In the following examples, "parts" are by weight.

#### Formulation Example 1: Emulsion

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Compound of the invention	20 parts
Xylene	55 parts
N,N-dimethylformamide	20 parts
Sorpol 2680 (trade name by Toho Chemical Industry Co.; mixture of nonionic surfactant and anionic surfactant)	5 parts

The above ingredients are uniformly blended to form an emulsion. Before use, the emulsion is diluted to from 1/50 to 1/20000, and the diluted emulsion is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

#### Formulation Example 2: Wettable powder

30

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Compound of the invention	25 parts
Zieklite PFP (trade name by Zieklite Industry Co.; mixture of kaolinite and sericite)	66 parts
Sorpol 5039 (trade name by Toho Chemical Industry Co.; anionic surfactant)	4 parts
Carplex #80 (trade name by Shionogi & Co., Ltd.; white carbon)	3 parts
Calcium lignin sulfonate	2 parts

The above ingredients are uniformly blended and milled to form a wettable powder. Before use, the powder is diluted with water to from 1/50 to 1/20000, and the diluted liquid is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

#### Formulation Example 3: Oil

45

Compound of the invention	10 parts
Methyl Cellosolve	90 parts

The above ingredients are uniformly blended to form an oil. For use, this is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

55

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## Formulation Example 4: Powder

5	Compound of the invention	3.0 parts
	Carplex #80 (trade name by Shionogi & Co., Ltd.; white carbon)	0.5 parts
	Clay	95 parts
	Diisopropyl phosphate	1.5 parts

10 The above ingredients are uniformly blended and milled to form a powder. For use, this is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

## Formulation Example 5: Granules

15	Compound of the invention	5 parts
	Bentonite	54 parts
	Talc	40 parts
20	Calcium lignin sulfonate	1 part

The above ingredients are uniformly blended and milled, and a small amount of water is added thereto and mixed with stirring. The mixture is granulated through a granulating extruder and dried to form granules. For use, the granules are sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

## Formulation Example 6: Flowable

30	Compound of the invention	25 parts
	Sorpol 3353 (trade name by Toho Chemical Industry Co.; nonionic surfactant)	10 parts
	Lunox 1000C (trade name by Toho Chemical Industry Co.; anionic surfactant)	0.5 parts
	1 % Zanthan gum aqueous solution (natural polymer)	20 parts
35	Water	44.5 parts

The above ingredients except the active ingredient are uniformly mixed, and the compound of the invention is added thereto and well stirred. The resulting blend is then wet-milled in a sand mill to obtain a flowable. Before use, this is diluted to from 1/50 to 1/20000, and the diluted liquid is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

Compounds of the present invention are effective for protecting plants from various plant diseases caused by, for example, Pyricularia oryzae, Cochliobolus miyabeanus, Rhizoctonia solani, Erysiphe graminis f. sp. hordei, f. sp. tritici, Pyrenophora graminea, Pyrenophora teres, Gibberella zeae, Puccinia striiformis, P. graminis, P. recondita, P. hordei, Typhula sp., Micronectriella nivais, Ustilago tritici, U. nuda, Pseudocercospora herpotrichoides, Rhynchosporium secalis, Septoria tritici, Leptosphaeria nodorum, Dianorthe citri, Elsinoe fawcetti, Penicillium digitatum, P. italicum, Sclerotinia mali, Valsa mali, Podosphaera leucotricha, Alternaria mali, Venturia inaequalis, Venturia nashicola, Alternaria kikuchiana, Gymnosporangium haraeaeum, Sclerotinia cinerea, Cladosporium carpophilum, Phomopsis sp., Plasmopara viticola, Elsinoe ampelina, Glomerella cingulata, Uncinula necator, Phakopsora ampelopsidis, Gloeosporium kaki, Cercospora kaki, Mycosphaerella nawae, Pseudoperonospora cubensis, Colletotrichum lagenarium, Sphaerotheca fuliginea, Mycosphaerella melonis, Phytophthora infestans, Alternaria solani, Cladosporium fulvum, Phomopsis vexans, Erysiphe cichoracearum, Alternaria japonica, Cercospora brassicae, Puccinia allii, Cercospora kikuchii, Elsinoe glycines, Diaporthe phaseololum, Colletotrichum lindemuthianum, Mycosphaerella personatum, Cercospora arachidicola, Erysiphe pisi, Alternaria solani, Sphaerotheca humuli, Exobasidium r ticulatum, Elsinoe leucospila, Alternaria longipes, Erysiphe cichoracearum, Colletotrichum tabacum, Cercospora beticola, Diplocarpon rosae, Sphaerotheca pannosa, Septoria chrysanthemiindici, Puccinia horiana, Botrytis cinerea, Sclerotinia sclerotiorum, etc.

The effectiveness of the compounds of the present invention is concretely explained by way of the following test examples, which, however, are not limitative.

Test Example 1: Test for controlling gray mold (*Botrytis cinerea*)

5 An emulsion of the compound of the present invention was diluted with water to have a concentration of 500 ppm. This was sprayed over two- or three-leave-stage tomato plants (variety; Fukuju) as grown in a pot having a diameter of 7 cm with a spray gun, in an amount of 20 ml/pot.

10 On the next day, a suspension of spores of *Botrytis cinerea* (containing 1.0 % of glucose and 2.5 % yeast extract; 40 spores/visible area ( $\times 150$ )) was sprayed over the plants, which were then put in a cultivation box having a temperature of 25 °C and a humidity of 95 % or more for 5 days. The region of the infected and spotted leaves to all the treated leaves was measured, and the preventive value of the compound was calculated out from the following equation.

15 Preventive value =  $[1 - (\text{region of infected leaves in treated group} / \text{region of infected leaves in control group})] \times 100$

As a result, the following compounds of the present invention showed the preventive value of being 100.

20 Compounds No. 5, No. 49, No. 52, No. 56, No. 58, No. 59, No. 63, No. 67, No. 68, No. 95, No. 96, No. 99, No. 105, No. 108, No. 110, No. 116, No. 120, No. 124, No. 126, No. 129, No. 132, No. 133, No. 142, No. 154, No. 157, No. 167, No. 172, No. 301, No. 311, No. 312, No. 314, No. 315, No. 316, No. 317, No. 318, No. 319, No. 320, No. 321, No. 322, No. 326, No. 328, No. 343, No. 383, No. 391, No. 402, No. 447.

Text Example 2: Test for controlling sheath blight (*Rhizoctonia solani*)

25 An emulsion of the compound of the present invention was diluted with water to have a concentration of 500 ppm. 5 ml/pot of this was applied to three- or four-leave-stage rice plant (variety; Nihonbare) as grown in a pot having a diameter of 5 cm, near the roots of them, and immediately thereafter, 15 ml/pot of this was sprayed over them.

30 Three days after the treatment, rice hulls as infected with *Rhizoctonia solani* were put near the roots of the plants and the plants were inoculated.

Then, the pots were put in a cultivation box having a temperature of 28 °C and a humidity of 95 % or more. Five days after the inoculation, the height of the infected and spotted leaves of the plants from the earth was measured, and the preventive value of the compound was calculated out from the following equation.

35 Preventive Value =  $[1 - (\text{height of infected leaves in treated group} / \text{height of infected leaves in control group})] \times 100$

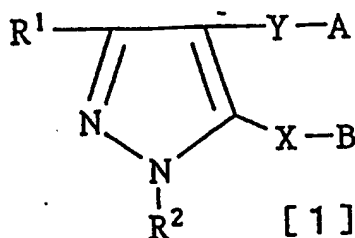
40 As a result, the following compounds of the present invention showed the preventive value of being 100.

Compounds No. 5, No. 47, No. 49, No. 52, No. 55, No. 56, No. 57, No. 59, No. 68, No. 69, No. 70, No. 71, No. 72, No. 79, No. 81, No. 96, No. 97, No. 99, No. 100, No. 102, No. 105, No. 108, No. 110, No. 113, No. 124, No. 126, No. 129, No. 142, No. 144, No. 146, No. 154, No. 157, No. 167, No. 168, No. 169, No. 172, No. 175, No. 178, No. 179, No. 182, No. 188, No. 194, No. 291, No. 292, No. 301, No. 312, No. 314, 45 No. 316, No. 317, No. 318, No. 319, No. 320, No. 321, No. 322, No. 326, No. 328, No. 363, No. 365, No. 367, No. 383, No. 391, No. 402, No. 435, No. 436, No. 440, No. 441, No. 447, No. 451, No. 481, No. 483, No. 485, No. 499.

**Claims**

50 1. Substituted pyrazole derivatives of a general formula [1]:

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where R<sup>1</sup> represents a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group or a haloalkyl group;

R<sup>2</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenylalkyl group, -COR<sup>6</sup> or -SO<sub>2</sub>R<sup>7</sup>;

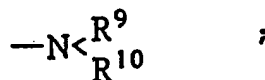
X represents -S-, -SO-, -SO<sub>2</sub>-, -N(R<sup>3</sup>)-, -CO- or -C(R<sup>4</sup>)(R<sup>5</sup>)-;

R<sup>3</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxycarbonylalkyl group, a nitroso group, an amino group, an unsubstituted or substituted phenylalkyl group, -COR<sup>6</sup> or -SO<sub>2</sub>R<sup>7</sup>;

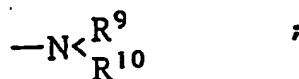
R<sup>4</sup> and R<sup>5</sup> independently represent a hydrogen atom, a halogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group or -OR<sup>8</sup>;

R<sup>8</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxycarbonylalkyl group, an unsubstituted or substituted phenylalkyl group, -COR<sup>6</sup> or -SO<sub>2</sub>R<sup>7</sup>;

R<sup>6</sup> represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group, an unsubstituted or substituted phenylalkyl group, an alkoxy group or



R<sup>7</sup> represents an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group or

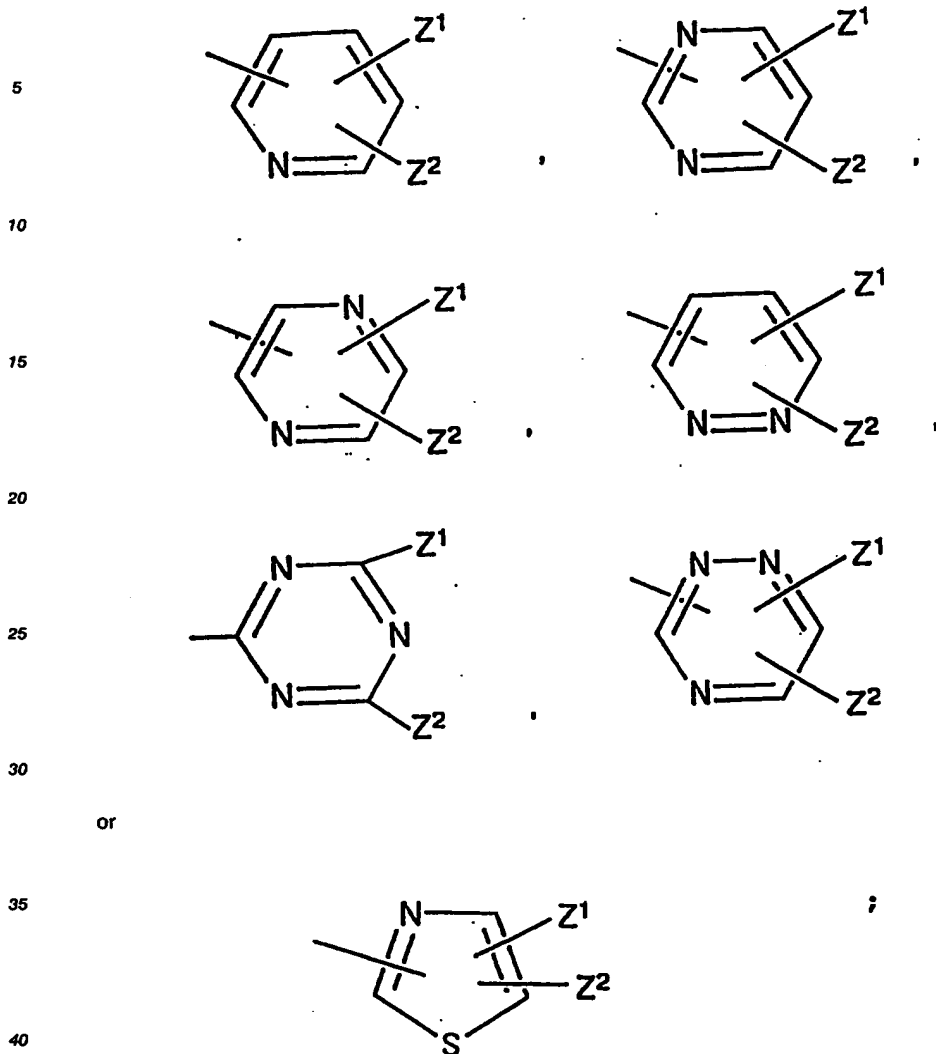


R<sup>9</sup> and R<sup>10</sup> independently represent a hydrogen atom, an alkyl group or an unsubstituted or substituted phenyl group;

Y represents an oxygen atom, -S-, -SO-, or -SO<sub>2</sub>-;

A represents an unsubstituted or substituted phenyl group or an unsubstituted or substituted heterocyclic group;

B represents



$Z^1$  and  $Z^2$  independently represent a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group or a haloalkyl group.

- 45
2. Substituted pyrazole derivatives as claimed in claim 1, in which A is a substituted phenyl group.
3. Substituted pyrazole derivatives as claimed in claim 1, in which X is  $-N(R^3)-$ .
- 50
4. Substituted pyrazole derivatives as claimed in claim 2, in which Y is  $-S-$ .
5. Substituted pyrazole derivatives as claimed in claim 1, in which  $R^1$  and  $R^2$  each are a lower alkyl group, X is  $-N(R^3)-$ , Y is  $-S-$ , A is a substituted phenyl group, and B is an unsubstituted pyridyl group or an unsubstituted pyrimidyl group.
- 55
6. A fungicide for agricultural and horticultural use, containing one or more substituted pyrazoles as claimed in claim 1, as an active ingredient.

# INTERNATIONAL SEARCH REPORT

International Application No PCT/JP91/01538

<b>I. CLASSIFICATION OF SUBJECT MATTER</b> (If several classification symbols apply, indicate all) *		
According to International Patent Classification (IPC) or to both National Classification and IPC Int. C15 C07D401/06, 401/12, 401/14, 403/06, 403/12, 403/14, 417/06, 417/12, 417/14, A01N43/54, 43/56, 43/58, 43/60, 43/707, 43/78		
<b>II. FIELDS SEARCHED</b>		
Minimum Documentation Searched *		
Classification System :	Classification Symbols	
IPC	C07D401/06-401/14, 403/06-403/14, 417/06-417/14, A01N43/54-43/62, 43/707, 43/78	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched *		
<b>III. DOCUMENTS CONSIDERED TO BE RELEVANT *</b>		
Category *	Citation of Document, ** with indication, where appropriate, of the relevant passages **	Relevant to Claim No. **
A	JP, A, 01-125379 (Sumitomo Chemical Co., Ltd.), May 17, 1989 (17. 05. 89), (Family: none)	1-5, 6
<p>* Special categories of cited documents: **</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art</p> <p>"S" document member of the same patent family</p>		
<b>IV. CERTIFICATION</b>		
Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report	
January 8, 1992 (08. 01. 92)	January 28, 1992 (28. 01. 92)	
International Searching Authority	Signature of Authorized Officer	
Japanese Patent Office		

